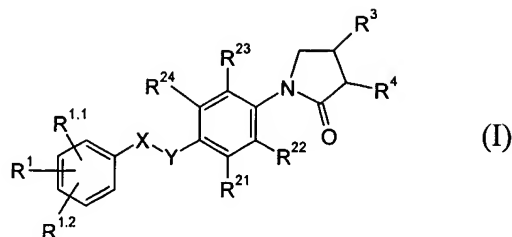


## Claims

1. A compound of the formula I



wherein

X-Y is  $-\text{CH}_2-\text{CH}_2-$ ,  $-\text{CH}=\text{CH}-$  or  $-\text{CH}_2-\text{O}-$ ;

$\text{R}^1$ ,  $\text{R}^{1.1}$  and  $\text{R}^{1.2}$  independently from each other are selected from the group consisting of hydrogen, halogen, cyano,  $(\text{C}_1-\text{C}_6)$ -alkyl, halogen- $(\text{C}_1-\text{C}_6)$ -alkyl,  $(\text{C}_1-\text{C}_6)$ -alkoxy or halogen- $(\text{C}_1-\text{C}_6)$ -alkoxy;

$\text{R}^{21}$ ,  $\text{R}^{22}$  and  $\text{R}^{23}$  independently from each other are selected from the group consisting of hydrogen and halogen;

$\text{R}^{24}$  is hydrogen, halogen or methyl;

$\text{R}^3$  is hydrogen;

$\text{R}^4$  is  $-\text{CONHR}^5$ ,  $-\text{CN}$  or  $-\text{NHR}^6$ ;

$\text{R}^5$  is hydrogen or  $(\text{C}_1-\text{C}_3)$ -alkyl; and

$\text{R}^6$  is  $-\text{CO}-\text{H}$ ,  $-\text{CO}-(\text{C}_1-\text{C}_6)$ -alkyl,  $-\text{CO}$ -halogen- $(\text{C}_1-\text{C}_3)$ -alkyl,  $-\text{CO}-\text{O}-(\text{C}_1-\text{C}_3)$ -alkyl,  $-\text{CO}-\text{NH}_2$  or  $-\text{SO}_2-(\text{C}_1-\text{C}_6)$ -alkyl;

or an individual isomer or racemic or non-racemic mixture thereof.

2. A compound according to claim 1 wherein -X-Y- is  $-\text{CH}_2-\text{O}-$ .

3. A compound according to claim 2 wherein  $\text{R}^1$ ,  $\text{R}^{1.1}$ , and  $\text{R}^{1.2}$  independently are hydrogen, halogen, methyl, halogenmethyl, cyano, methoxy or halogenmethoxy.

4. A compound according to claim 3 wherein  $\text{R}^{21}$ ,  $\text{R}^{22}$ ,  $\text{R}^{23}$ , and  $\text{R}^{24}$  are hydrogen.

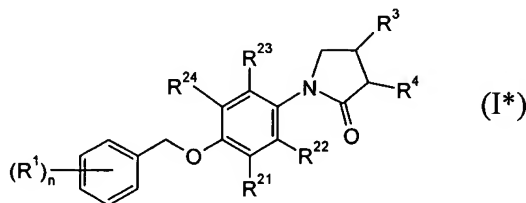
5. A compound according to claim 4 wherein  $R^4$  is CN.
6. A compound according to claim 4 wherein  $R^4$  is  $\text{CONHR}^5$  and  $R^5$  is hydrogen or  $(\text{C}_1\text{-C}_3)\text{-alkyl}$ .
7. A compound according to claim 4 wherein  $R^4$  is  $\text{NHR}^6$  and  $R^6$  is  $-\text{CO-H}$ ,  $-\text{CO-(C}_1\text{-C}_6\text{)-alkyl}$ ,  $-\text{CO-halogen-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-O-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-NH}_2$  or  $-\text{SO}_2\text{-(C}_1\text{-C}_6\text{)-alkyl}$ .
8. A compound according to claim 2 wherein  $R^{1,2}$  is hydrogen and  $R^1$  and  $R^{1,1}$  independently are each hydrogen, halogen, cyano,  $(\text{C}_1\text{-C}_6)\text{-alkyl}$ , halogen- $(\text{C}_1\text{-C}_6)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{-alkoxy}$  or halogen- $(\text{C}_1\text{-C}_6)\text{-alkoxy}$ .
9. A compound according to claim 8 wherein  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ , and  $R^{24}$  are hydrogen.
10. A compound according to claim 9 wherein  $R^4$  is CN.
11. A compound according to claim 9 wherein  $R^4$  is  $\text{CONHR}^5$  and  $R^5$  is hydrogen or  $(\text{C}_1\text{-C}_3)\text{-alkyl}$ .
12. A compound according to claim 9 wherein  $R^4$  is  $\text{NHR}^6$  and  $R^6$  is  $-\text{CO-H}$ ,  $-\text{CO-(C}_1\text{-C}_6\text{)-alkyl}$ ,  $-\text{CO-halogen-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-O-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-NH}_2$  or  $-\text{SO}_2\text{-(C}_1\text{-C}_6\text{)-alkyl}$ .
13. A compound according to claim 2 wherein  $R^{1,1}$  and  $R^{1,2}$  are hydrogen and  $R^1$  is halogen, cyano,  $(\text{C}_1\text{-C}_6)\text{-alkyl}$ , halogen- $(\text{C}_1\text{-C}_6)\text{-alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{-alkoxy}$  or halogen- $(\text{C}_1\text{-C}_6)\text{-alkoxy}$ .

14. A compound according to claim 13 wherein  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ , and  $R^{24}$  are hydrogen.
15. A compound according to claim 14 wherein  $R^4$  is CN.
16. A compound according to claim 14 wherein  $R^4$  is  $\text{CONHR}^5$  and  $R^5$  is hydrogen or  $(\text{C}_1\text{-C}_3)\text{-alkyl}$ .
17. A compound according to claim 14 wherein  $R^4$  is  $\text{NHR}^6$  and  $R^6$  is  $-\text{CO-H}$ ,  $-\text{CO-(C}_1\text{-C}_6\text{)-alkyl}$ ,  $-\text{CO-halogen-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-O-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-NH}_2$  or  $-\text{SO}_2\text{-(C}_1\text{-C}_6\text{)-alkyl}$ .
18. A compound according to claim 17 wherein  $R^1$  is halogen and  $R^6$  is  $-\text{CO-(C}_1\text{-C}_6\text{)-alkyl}$ .
19. A compound according to claim 18 wherein  $R^6$  is  $\text{COCH}_3$ .
20. A compound according to claim 2 wherein  $R^1$ ,  $R^{1.1}$ ,  $R^{1.2}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ , and  $R^{24}$  are hydrogen.
21. A compound according to claim 20 wherein  $R^4$  is CN.
22. A compound according to claim 20 wherein  $R^4$  is  $\text{CONHR}^5$  and  $R^5$  is hydrogen or  $(\text{C}_1\text{-C}_3)\text{-alkyl}$ .
23. A compound according to claim 20 wherein  $R^4$  is  $\text{NHR}^6$  and  $R^6$  is  $-\text{CO-H}$ ,  $-\text{CO-(C}_1\text{-C}_6\text{)-alkyl}$ ,  $-\text{CO-halogen-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-O-(C}_1\text{-C}_3\text{)-alkyl}$ ,  $-\text{CO-NH}_2$  or  $-\text{SO}_2\text{-(C}_1\text{-C}_6\text{)-alkyl}$ .

24. A compound according to claim 1 wherein  $R^{21}$ ,  $R^{22}$ , and  $R^{23}$  are hydrogen.
25. A compound according to claim 1 wherein  $R^{24}$  is hydrogen.
26. A compound according to claim 1 wherein  $R^4$  is  $-\text{CONHR}^5$ , wherein  $R^5$  is hydrogen or  $(\text{C}_1\text{-C}_3)\text{-alkyl}$ .
27. A compound according to claim 26 wherein  $R^5$  is hydrogen or methyl.
28. A compound according to claim 1 wherein  $R^4$  is  $-\text{CN}$ .
29. A compound according to claim 1 wherein  $R^4$  is  $-\text{NHR}^6$ , wherein  $R^6$  is  $-\text{CO-H}$ ,  $-\text{CO}(\text{C}_1\text{-C}_6)\text{-alkyl}$ ,  $-\text{CO-halogen}(\text{C}_1\text{-C}_3)\text{-alkyl}$ ,  $-\text{CO-O}(\text{C}_1\text{-C}_3)\text{-alkyl}$ ,  $-\text{CO-NH}_2$  or  $-\text{SO}_2(\text{C}_1\text{-C}_6)\text{-alkyl}$ .
30. A compound according to claim 29 wherein  $R^6$  is  $-\text{CO-H}$ ,  $-\text{CO-CH}_3$ ,  $-\text{CO-O-CH}_3$ ,  $-\text{CO-NH}_2$  or  $-\text{SO}_2\text{-CH}_3$ .
31. A compound according to claim 1 wherein the compound has (S)-configuration
32. A compound according to claim 1 wherein the compound has (R)-configuration.
33. A compound according to claim 1 wherein  $R^1$ ,  $R^{1.1}$  and  $R^{1.2}$  independently from each other are selected from the group consisting of hydrogen, halogen, methyl, halogenmethyl, cyano, methoxy or halogen-methoxy.

34. A compound according to claim 1 wherein  $R^{1,2}$  is hydrogen and  $R^1$  and  $R^{1,1}$  independently from each other are selected from the group consisting of hydrogen, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halogen-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or halogen-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy.
35. A compound according to claim 34 wherein  $R^{1,1}$  is hydrogen.
36. A compound according to claim 35 wherein  $R^1$  is halogen, methyl, halogenmethyl, cyano, methoxy or halogen-methoxy.
37. A compound according to claim 36 wherein  $R^1$  is halogen.
38. A compound according to claim 37 wherein  $R^1$  is fluoro.
39. A compound according to claim 38, wherein  $R^1$  is 3-fluoro or 4-fluoro.
40. A compound according to claim 37 wherein  $R^1$  is chloro.
41. A compound according to claim 40 wherein  $R^1$  is 3-chloro.
42. A compound according to claim 36 wherein  $R^1$  is halogenmethyl.
43. A compound according to claim 42 wherein  $R^1$  is 3-trifluoromethyl or 4-trifluoromethyl.
44. A compound according to claim 36 wherein  $R^1$  is CN.
45. A compound according to claim 36 wherein  $R^1$  is methoxy.
46. A compound according to claim 45 wherein  $R^1$  is 2-methoxy, 3-methoxy, or 4-methoxy.

47. A compound according to claim 36 wherein  $R^1$  is halogenmethoxy.
48. A compound according to claim 47 wherein  $R^1$  is 3-trifluoromethoxy.
49. A compound according to claim 34 wherein  $R^{1.2}$  is hydrogen and  $R^1$  and  $R^{1.1}$  independently are each halogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl.
50. A compound according to claim 49 wherein  $R^{1.2}$  is hydrogen,  $R^{1.1}$  is halogen, and  $R^1$  is halogen or (C<sub>1</sub>-C<sub>6</sub>)-alkyl.
51. A compound according to claim 1 wherein  $R^1$ ,  $R^{1.1}$ , and  $R^{1.2}$  are halogen.
52. A compound according to claim 51 wherein  $R^1$ ,  $R^{1.1}$ , and  $R^{1.2}$  are fluoro.
53. A compound according to claim 52 wherein  $R^1$ ,  $R^{1.1}$ , and  $R^{1.2}$  are 2,4,6-trifluoro, 2,4,5-trifluoro, 2,3,6-trifluoro, 2,3,4-trifluoro, or 3,4,5-trifluoro.
54. A compound according to claim 1 wherein  $R^1$ ,  $R^{1.1}$ , and  $R^{1.2}$  are hydrogen.
55. A compound of the formula I\*



wherein

$R^1$  is halogen, halogen-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, cyano, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy or halogen-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy;

$R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  independently from each other are selected from the group consisting of hydrogen and halogen;

$R^3$  is hydrogen;  
 $R^4$  is  $-\text{CONHR}^5$ ,  $-\text{CH}_2\text{CN}$ ,  $-\text{CN}$  or  $-\text{NHR}^6$ ;  
 $R^5$  is hydrogen or  $\text{C}_1\text{-C}_3\text{-alkyl}$ ;  
 $R^6$  is  $-\text{CO}-(\text{C}_1\text{-C}_6)\text{-alkyl}$  or  $-\text{SO}_2-(\text{C}_1\text{-C}_6)\text{-alkyl}$ ; and  
 $n$  is 0, 1, 2 or 3;  
or an individual isomer or racemic or non-racemic mixture thereof.

56. A compound according to claim 55 wherein  $R^3$  is hydrogen,  $R^4$  is  $\text{CN}$ ,  $\text{CONHR}^5$  or  $\text{CH}_2\text{CN}$ .

57. A compound according to claim 55 wherein  $R^4$  is  $\text{CONHR}^5$  and  $R^5$  is hydrogen or  $(\text{C}_1\text{-C}_3)\text{-alkyl}$ .

58. A compound according to claim 55 wherein  $R^4$  is  $\text{CN}$ .

59. A compound according to claim 55 wherein  $R^4$  is  $\text{NHR}^6$  and  $R^6$  is  $-\text{CO}-(\text{C}_1\text{-C}_6)\text{-alkyl}$  or  $-\text{SO}_2-(\text{C}_1\text{-C}_6)\text{-alkyl}$ .

60. A compound according to claim 55 wherein  $R^3$  is hydrogen,  $R^4$  is  $\text{NHR}^6$  and  $R^6$  is  $-\text{CO}-(\text{C}_1\text{-C}_6)\text{-alkyl}$  or  $-\text{SO}_2-(\text{C}_1\text{-C}_6)\text{-alkyl}$ .

61. A compound according to claim 55 wherein  $R^1$  is halogen or halogen- $(\text{C}_1\text{-C}_6)\text{-alkyl}$ .

62. A compound according to claim 61 wherein  $R^1$  is fluoro, chloro, or trifluoromethyl.

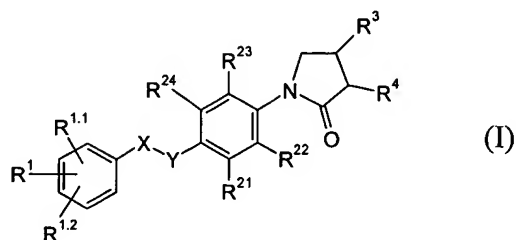
63. A compound according to claim 55 wherein  $n$  is 1 or 2.

64. A compound selected from the group consisting of  
 (RS)-1-(4-benzyloxy-phenyl)-2-oxo-pyrrolidine-3-carbonitrile,  
 (RS)-1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidine-3-carboxylic acid methylamide,  
 (RS)-1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidine-3-carboxylic acid amide,  
 (RS)-1-[4-(4-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidine-3-carboxylic acid amide,  
 (RS)-1-[4-(4-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidine-3-carboxylic acid methylamide,  
 (RS)-2-oxo-1-[4-(4-trifluoromethyl-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid  
 amide, and  
 (RS)-2-oxo-1-[4-(4-trifluoromethyl-benzyloxy)-phenyl]-pyrrolidine-3-carboxylic acid  
 methylamide.

65. A compound selected from the group consisting of  
 (S)-N-[1-(4-benzyloxy-phenyl)-2-oxo-pyrrolidin-3-yl]-acetamide,  
 (S)-N-[1-(4-benzyloxy-phenyl)-2-oxo-pyrrolidin-3-yl]-methanesulfonamide,  
 (S)-N-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-acetamide,  
 (R)-N-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-acetamide,  
 (R)-N-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-methanesulfonamide,  
 (S)-N-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-methanesulfonamide,  
 and  
 (S)-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-carbamic acid methyl ester.

66. A compound selected from the group consisting of  
 (R)-N-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-formamide,  
 (S)-N-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-formamide,  
 (R)-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-urea,  
 (S)-{1-[4-(3-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-urea,  
 (S)-N-{1-(S)-[4-(4-fluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-acetamide,  
 (S)-N-{1-(S)-[4-(2,6-difluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-acetamide, and  
 (S)-N-{1-[4-(3,4-difluoro-benzyloxy)-phenyl]-2-oxo-pyrrolidin-3-yl}-acetamide.

67. A composition comprising a compound of formula I



wherein

X-Y is  $-\text{CH}_2-\text{CH}_2-$ ,  $-\text{CH}=\text{CH}-$  or  $-\text{CH}_2-\text{O}-$ ;

$\text{R}^1$ ,  $\text{R}^{1.1}$  and  $\text{R}^{1.2}$  independently from each other are selected from the group consisting of hydrogen, halogen, cyano,  $(\text{C}_1-\text{C}_6)$ -alkyl, halogen- $(\text{C}_1-\text{C}_6)$ -alkyl,  $(\text{C}_1-\text{C}_6)$ -alkoxy or halogen- $(\text{C}_1-\text{C}_6)$ -alkoxy;

$\text{R}^{21}$ ,  $\text{R}^{22}$  and  $\text{R}^{23}$  independently from each other are selected from the group consisting of hydrogen and halogen;

$\text{R}^{24}$  is hydrogen, halogen or methyl;

$\text{R}^3$  is hydrogen;

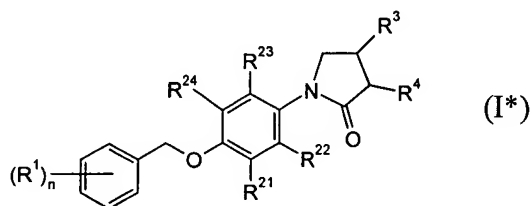
$\text{R}^4$  is  $-\text{CONHR}^5$ ,  $-\text{CN}$  or  $-\text{NHR}^6$ ;

$\text{R}^5$  is hydrogen or  $(\text{C}_1-\text{C}_3)$ -alkyl; and

$\text{R}^6$  is  $-\text{CO}-\text{H}$ ,  $-\text{CO}-(\text{C}_1-\text{C}_6)$ -alkyl,  $-\text{CO}-\text{halogen}-(\text{C}_1-\text{C}_3)$ -alkyl,  $-\text{CO}-\text{O}-(\text{C}_1-\text{C}_3)$ -alkyl,  $-\text{CO}-\text{NH}_2$  or  $-\text{SO}_2-(\text{C}_1-\text{C}_6)$ -alkyl;

or an individual isomer or racemic or non-racemic mixture thereof, and a pharmaceutically acceptable carrier.

68. A composition comprising a compound of formula I\*



wherein

$\text{R}^1$  is halogen, halogen- $(\text{C}_1-\text{C}_6)$ -alkyl, cyano,  $(\text{C}_1-\text{C}_6)$ -alkoxy or halogen- $(\text{C}_1-\text{C}_6)$ -alkoxy;

$R^{21}$ ,  $R^{22}$ ,  $R^{23}$  and  $R^{24}$  independently from each other are selected from the group consisting of hydrogen and halogen;

$R^3$  is hydrogen;

$R^4$  is  $-\text{CONHR}^5$ ,  $-\text{CH}_2\text{CN}$ ,  $-\text{CN}$  or  $-\text{NHR}^6$ ;

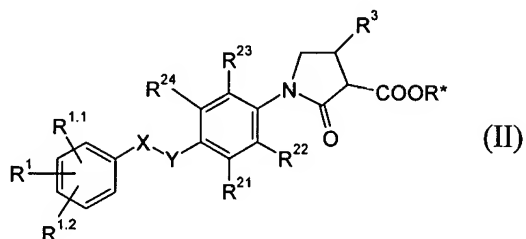
$R^5$  is hydrogen or  $\text{C}_1$ - $\text{C}_3$ -alkyl;

$R^6$  is  $-\text{CO}-(\text{C}_1\text{-C}_6)\text{-alkyl}$  or  $-\text{SO}_2-(\text{C}_1\text{-C}_6)\text{-alkyl}$ ; and

$n$  is 0, 1, 2 or 3;

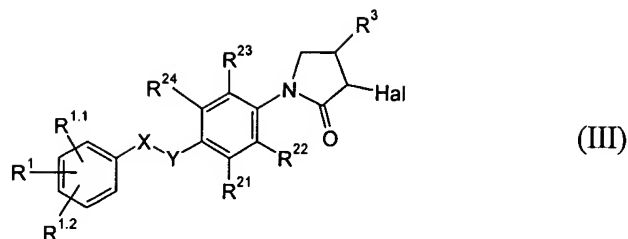
or an individual isomer or racemic or non-racemic mixture thereof, and a pharmaceutically acceptable carrier.

69. A process for the preparation of compounds of formula I according to claim 1 wherein  $R^4$  is  $\text{CONHR}^5$  comprising reacting a compound of formula II



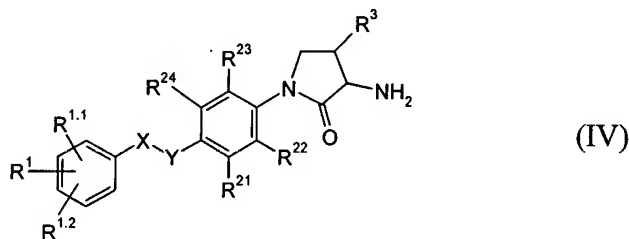
wherein  $R^1$ ,  $R^{1.1}$ ,  $R^{1.2}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^3$ , X and Y have the meanings as defined in claim 1 and  $R^*$  is hydrogen or  $(\text{C}_1\text{-C}_6)\text{-alkyl}$ , with an amine of formula  $\text{H}_2\text{N-R}^5$ , wherein  $R^5$  has the meaning in claim 1.

70. A process for the preparation of compounds of formula I according to claim 1 wherein  $R^4$  is CN comprising reacting a compound of formula III



wherein  $R^1$ ,  $R^{1.1}$ ,  $R^{1.2}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^3$ , X and Y have the meanings as defined in claim 1 and Hal is halogen,  
with a cyanide salt.

71. A process for the preparation of compounds of formula I according to claim 1 wherein  $R^4$  is  $NHR^6$   
comprising reacting a compound of formula IV



wherein  $R^1$ ,  $R^{1.1}$ ,  $R^{1.2}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^3$ , X and Y have the meanings as defined in claim 1,  
with an acyl donating agent of formula  $Z-CO-H$ ,  $Z-CO-(C_1-C_6)\text{-alkyl}$ ,  $Z-CO\text{-halogen-}(C_1-C_3)\text{-alkyl}$ ,  $Z-CO-O-(C_1-C_3)\text{-alkyl}$ , or  $Z-SO_2-(C_1-C_3)\text{-alkyl}$  wherein Z is an activating group.

72. A method for the treatment of Alzheimer's disease comprising  
administering to an individual a therapeutically effective amount of a compound of claim 1.

73. A method for the treatment of senile dementia comprising administering to  
an individual a therapeutically effective amount of a compound of claim 1.